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New pyrazole derivatives – useful for treating TNF mediated disorders and p38 kinase med Patent Assignee: SEARLE & CO G D (SEAR); HANSON G J (HANS-I); LIAO S (LIAO-I)

Inventor: HANSON G J; LIAO S

Patent Family (8 patents, 81 countries)

Patent Number	Kind	Date	Application Number	Kind	Date	Update	Туре
WO 1998052941	A1	19981126	WO 1998US11684	Α.	19980522	199908	В
AU 199877268	Α	19981211	AU 199877268	A	19980522	199917	E
EP 1019394	A1 ·	20000719	EP 1998925277	Α	19980522	200036	E
			WO 1998US11684	Α	19980522		
US 6087381	Α	20000711	US 199747569	Р	19970522	200037	E
			US 199883724	Α	19980522		
JP 2002502380	W	20020122	JP 1998550759	Α	19980522	200211	E
			WO 1998US11684	Α	19980522		
US 6503930	В1	20030107	US 199747569	Р	19970522	200306	E
			US 199883724	Α	19980522		
			US 2000540464	Α	20000331		
US 20030144529	A1	20030731	US 199747569	Р	19970522	200354	E
·			US 199883724	Α	19980522	·	
			US 2000540464	Α	20000331		
			US 2002267650	Α	20021009		
US 6852740	B2	20050208	US 199747569	Р	19970522	200511	E
			US 199883724	Α	19980522		
			US 2000540464	Α	20000331	]	
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	LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZW					
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AU 199877268	A				WO 1998052941	
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				Division of application	US 199883724	
				Division of patent	US 6087381	
US 20030144529	A1	EN	· .	Related to Provisional	US 199747569	
			-	Continuation of application	US 199883724	
		•		Continuation of application	US 2000540464	
				Continuation of patent	US 6087381	
				Continuation of patent	US 6503930	
US 6852740	B2	EN	]	Related to Provisional	US 199747569	
				Continuation of application		
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				Continuation of patent	US 6503930	

### Alerting Abstract WO A1

Pyrazole derivatives of formula (I), their salts and tautomers, are new. R1 = hydrido, 1-20C alkyl, 3-12C cycloalkyl, 2-20C alkenyl, 3-12C cycloalkenyl, 2-20C alkynyl, aryl, heterocyclyl, 3-12C cycloalkyl 1-20C alkylene, 3-12C cycloalkenyl 1-20C alkylene, halo 1-20C alkyl, hydroxy 1-20C alkyl, hydroxy 2-20C alkenyl, hydroxy 2-20C alkynyl, aryl 1-20C alkyl, aryl 1-20C alkenyl, aryl 1-20C alkynyl, heterocyclyl 1-20C alkylene, 1-20C alkoxy 1-20C alkyl, aryloxy 1-20C alkyl, heterocyclyloxy 1-20C alkyl, mercapto 1-20C alkyl, mercaptoaryl, mercaptoheterocyclyl, 1-20C alkylthio 1-20C alkylene, arylthio 1-20C alkylene, amino, 1-20C alkylamino, arylamino, amino 1-20C alkyl, aminoaryl, 1-20C alkylamino 1-20C alkylene or heterocyclyl 1-20C alkylene; Q = oxy, thio, 1-20C alkylene, 1-20C alkylenylene, 1-20C alkylynylene, sulphinyl, sulphonyl, CO, CH(OH), N(R12), CONR6, N(R6)CO, N(R9)CON(R10), SO2N(R11), C(R7)(R8)CO or a group of formula (a) or (b); group (b) = 4-8-membered ring heterocyclylidenyl comprising one or more heteroatoms selected from O, S and N; n = 1-7; R2 = aryl optionally substituted by one or more halo, 1-20C alkyl, 2-20C alkenyl, 2-20C alkynyl, aryl, heterocyclyl, 1-20C alkoxy, 2-20C alkenoxy, 2-20C alkynoxy, aryloxy, heterocyclyloxy, aryl 1-20C alkoxy, 1-20C alkylthio, arylthio, 1-20C alkylsulphinyl, arylsulphinyl, 1-20C alkylsulphonyl, arylsulphonyl, amino, 1-20C alkylamino, 2-20C alkenylamino, 2-20C alkynylamino, arylamino, heterocyclylamino, amino 1–20C alkyl, aminocarbonyl, cyano, hydroxyl, hydroxy 1–20C

alkyl, 1–20C alkoxycarbonyl, aryloxycarbonyl, heterocyclyloxycarbonyl, formyl, nitro, nitro 1· alkylsulphinyl, halo 1-20C alkylsulphonyl, 1-20C alkylcarbonyl, arylcarbonyl, heterocyclylcar more halo, 1-20C alkyl, 1-20C alkoxy, 1-20C alkylthio, 1-20C alkylsulphinyl, 1-20C alkylsul aryl 1-20C alkyl, aryl 1-20C alkyloxy, aryl 1-20C alkylthio, aryl 1-20C alkylamino, aminosult halosulphonyl, amino 1-20C alkyl, halo 1-20C alkyl or 1-20C alkylcarbonyl; R4 = hydrido, 1alkenyl, 3-12C cycloalkylenyl, 1-20C alkoxy, 1-20C alkylthio, arylthio, carboxy, 1-20C alkox heterocyclyl 1-20C alkyl, amino, 1-20C alkylamino, 2-20C alkynylamino, arylamino, heteroc aminoheterocyclylamino, the aryl, heterocyclyl, 3-12C cycloalkyl and 3-12C cycloalkenyl gr 20C alkenyl, 2-20C alkynyl, 1-20C alkoxy, aryloxy, aralkoxy, halo 1-20C alkyl or 1-20C alky heterocyclylamino 1-20C alkyl being optionally substituted by one or more 1-20C alkyl; R6, R8 = hydrido, 1-20C alkyl, 2-20C alkenyl or 2-20C alkynyl or together form a 3- to 8-mem USE - (I) are useful for treating TNF mediated disorders and p38 kinase mediated disorders arthritis and asthma. The disorders are bone resorption, graft vs. host reaction, atheroscler inflammatory disease state, adult respiratory distress syndrome, asthma, chronic pulmonary thrombus, glomerulonephritis, Crohn's disease, ulcerative colitis, inflammatory bowel diseas ADVANTAGE - None given.

Title Terms /Index Terms/Additional Words: NEW; PYRAZOLE; DERIVATIVE; USEFUL; TRI

## Class Codes

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(57) Abstract

A class of pyrazole derivatives is described for use in treating p38 kinase mediated disorders. Compounds of particular interest are defined by Formula (I) wherein Q, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are as described in the specification.